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Docket No.: 5000-0191PUS1
(PATENT)

IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

In re Patent Application of:
Jordi TORMO I BLASCO et al.

Application No.: 10/589,877

Confirmation No.: Not Yet Assigned

Filed: August 18, 2006

Art Unit: N/A

For: FUNGICIDAL MIXTURES

Examiner: Not Yet Assigned

LETTER

Commissioner for Patents
P.O. Box 1450
Alexandria, VA 22313-1450

Sir:

Subsequent to the filing of the above-identified application on August 18, 2006, attached hereto is a (Form PCT/IB/373), and an English translation of the Written Opinion Of The International Searching Authority (Form PCT/ISA/237) that should be made of record in the present application.

If necessary, the Commissioner is hereby authorized in this, concurrent, and future replies, to charge payment or to credit any overpayment to Deposit Account No. 02-2448 for any

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additional fees required under 37 C.F.R. § 1.16 or under 37 C.F.R. § 1.17; particularly, extension of time fees.

Dated: January 3, 2007

Respectfully submitted,

By 

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Attachment(s)

PATENT COOPERATION TREATY

PCT

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

(Chapter I of the Patent Cooperation Treaty)

(PCT Rule 44bis)

Applicant's or agent's file reference 0000055441	FOR FURTHER ACTION	See item 4 below
International application No. PCT/EP2005/002686	International filing date (<i>day/month/year</i>) 14 March 2005 (14.03.2005)	Priority date (<i>day/month/year</i>) 15 March 2004 (15.03.2004)
International Patent Classification (8th edition unless older edition indicated) See relevant information in Form PCT/ISA/237		
Applicant BASF AKTIENGESELLSCHAFT		

1. This international preliminary report on patentability (Chapter I) is issued by the International Bureau on behalf of the International Searching Authority under Rule 44 bis.1(a).

2. This REPORT consists of a total of 9 sheets, including this cover sheet.

In the attached sheets, any reference to the written opinion of the International Searching Authority should be read as a reference to the international preliminary report on patentability (Chapter I) instead.

3. This report contains indications relating to the following items:

- | | | |
|-------------------------------------|--------------|---|
| <input checked="" type="checkbox"/> | Box No. I | Basis of the report |
| <input type="checkbox"/> | Box No. II | Priority |
| <input checked="" type="checkbox"/> | Box No. III | Non-establishment of opinion with regard to novelty, inventive step and industrial applicability |
| <input type="checkbox"/> | Box No. IV | Lack of unity of invention |
| <input type="checkbox"/> | Box No. V | Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement |
| <input type="checkbox"/> | Box No. VI | Certain documents cited |
| <input type="checkbox"/> | Box No. VII | Certain defects in the international application |
| <input type="checkbox"/> | Box No. VIII | Certain observations on the international application |

4. The International Bureau will communicate this report to designated Offices in accordance with Rules 44bis.3(c) and 93bis.1 but not, except where the applicant makes an express request under Article 23(2), before the expiration of 30 months from the priority date (Rule 44bis .2).

The International Bureau of WIPO 34, chemin des Colombettes 1211 Geneva 20, Switzerland	Date of issuance of this report 01 November 2006 (01.11.2006)
Facsimile No. +41 22 338 82 70	Authorized officer <div style="text-align: right; font-weight: bold;">Yolaine Cussac</div> e-mail: pt11@wipo.int

PATENT COOPERATION TREATY

TRANSLATION

From the
INTERNATIONAL SEARCHING AUTHORITY

PCT

WRITTEN OPINION OF THE
INTERNATIONAL SEARCHING AUTHORITY

(PCT Rule 43bis.1)

To:

Date of mailing **See form PCT/ISA/210**
(day/month/year)

Applicant's or agent's file reference 0000055441		FOR FURTHER ACTION See paragraph 2 below
International application No. PCT/EP2005/002686	International filing date (day/month/year) 14.03.2005	Priority date (day/month/year) 15.03.2004
International Patent Classification (IPC) or both national classification and IPC A01N43/90		
Applicant BASF AKTIENGESELLSCHAFT		

1. This opinion contains indications relating to the following items:

<input checked="" type="checkbox"/>	Box No. I	Basis of the opinion
<input type="checkbox"/>	Box No. II	Priority
<input type="checkbox"/>	Box No. III	Non-establishment of opinion with regard to novelty, inventive step and industrial applicability
<input type="checkbox"/>	Box No. IV	Lack of unity of invention
<input checked="" type="checkbox"/>	Box No. V	Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability: citations and explanations supporting such statement
<input type="checkbox"/>	Box No. VI	Certain documents cited
<input type="checkbox"/>	Box No. VII	Certain defects in the international application
<input type="checkbox"/>	Box No. VIII	Certain observations on the international application

2. **FURTHER ACTION**

If a demand for international preliminary examination is made, this opinion will be considered to be a written opinion of the International Preliminary Examining Authority ("IPEA") except that this does not apply where the applicant chooses an Authority other than this one to be the IPEA and the chosen IPEA has notified the International Bureau under Rule 66.1bis(b) that written opinions of this International Searching Authority will not be so considered.

If this opinion is, as provided above, considered to be a written opinion of the IPEA, the applicant is invited to submit to the IPEA a written reply together, where appropriate, with amendments, before the expiration of 3 months from the date of mailing of Form PCT/ISA/220 or before the expiration of 22 months from the priority date, whichever expires later.

For further options, see Form PCT/ISA/220.

3. For further details, see notes to Form PCT/ISA/220.

Name and mailing address of the ISA/EP	Authorized officer
Facsimile No.	Telephone No.

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Box No. I

Basis of this opinion

1. With regard to the language, this opinion has been established on the basis of the international application in the language in which it was filed, unless otherwise indicated under this item.
☐ This opinion has been established on the basis of a translation from the original language into the following language
_____, which is the language of a translation furnished for the purposes of international search (under Rule 12.3 and 23.1(b)).
2. With regard to any nucleotide and/or amino acid sequence disclosed in the international application and necessary to the claimed invention, this opinion has been established on the basis of:
 - a. type of material
☐ a sequence listing
☐ table(s) related to the sequence listing
 - b. format of material
☐ in written format
☐ in computer readable form
 - c. time of filing/furnishing
☐ contained in the international application as filed.
☐ filed together with the international application in computer readable form.
☐ furnished subsequently to this Authority for the purposes of search.
3. ☐ In addition, in the case that more than one version or copy of a sequence listing and/or table(s) relating thereto has been filed or furnished, the required statements that the information in the subsequent or additional copies is identical to that in the application as filed or does not go beyond the application as filed, as appropriate, were furnished.
4. Additional comments:

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Box No. V Reasoned statement under Rule 43bis.1(a)(i) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement

1. Statement

Novelty (N)	Claims <u>1-10</u>	YES
	Claims _____	NO
Inventive step (IS)	Claims <u>1-10</u>	YES
	Claims _____	NO
Industrial applicability (IA)	Claims <u>1-10</u>	YES
	Claims _____	NO

2. Citations and explanations:

This opinion makes reference to the following citations (D1-D5) :

D1: EP-A-0 988 790

D2: WO 98/46607 A

D3: K. STENZEL ET AL.: "SZX 722: A novel systemic oomycete fungicide" BRIGHTON CROP PROTECTION CONFERENCE -PESTS AND DISEASES, vol. 2, 1998, pages 367-374, ISSN: 0955-1506

D4: US-A-5 593 996 (PEES ET AL)

D5: LATIJNHOUWERS MAITA ET AL: "Oomycetes and fungi: Similar weaponry to attack plants." TRENDS IN MICROBIOLOGY, vol. 11, no. 10, October 2003 (2003-10), pages 462-469, XP002316336 ISSN: 0966-842X

Novelty

The subject matter of claims 1-10 is novel (PCT Article 33(1) and (2)).

The subject matter of independent claim 1 is a fungicidal mixture of a specific fungicidal triazolopyrimidine (hereinbelow referred to as TP1) and tolylfluand in a

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synergistically effective amount. Claim 3 claims a composition which comprises a carrier and the mixture. The remaining independent claims 4, 9 and 10 refer to a method of controlling harmful fungi by means of such a mixture, to seed which comprises such mixtures, and to the use of the two compounds for the preparation of compositions for controlling harmful fungi.

None of the abovementioned citations discloses the specific mixture which is the subject matter of the present application.

D1 (see the passages cited in the international search report) discloses synergistic mixtures of triazolopyrimidines of a general formula, which also covers TP1, with 22 other fungicides or fungicide classes, among which captan, mancozeb and sulphur, whose structure and/or mode of action has a certain similarity with tolylfluanid, but not tolylfluanid itself. The preferred azolopyrimidines A, B and C, which are used in examples, (hereinbelow referred to as TPa, TPb and TPC, respectively) are the 6-(2-Cl-6-F-phenyl), the 7-(2,2,2-trifluoroethylamino) and the 7-(1,1,1-trifluoropropyl-2-ylamino) analogues of TP1.

D2 (see the passages cited in the international search report) discloses among others the compound TP1 and its activity against plant-pathogenic fungi such as, for example, *Uncinula necator* (powdery mildew of grapevine, *Erysiphales*, *Ascomycetes*). It is shown in a comparative example that TP1 outperforms TPa, which is known, *inter alia*, from D1, in the control of this fungus on

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grapevines. D2 also mentions the possibility of a mixture with other fungicides and also mentions tolylfluanid in an extensive list, but does not provide any examples of mixtures. Activity against Oomycetes is not mentioned expressly, but TP1 proves to be largely ineffective against the Oomycete *Phytophthora infestans* (see D2, pages 23-26).

D3 (see the passages cited in the international search report) discusses iprovalicarb as novel systemic fungicide against Oomycetes. Mixtures with, *inter alia*, tolylfluanid also prove to be highly effective, for example against *Plasmopara viticola* on grapevines.

D4 (see the passages cited in the international search report) discloses triazolopyrimidines of a general formula, which also covers TP1, and specifically mentions TPa, *inter alia*, and their activity against plant-pathogenic fungi.

D5 finally (see the passages cited in the international search report) discusses the relationship between the true fungi and the Oomycetes (Phycomycetes), which are related to the brown algae, in taxonomic, morphological and physiological terms, and mentions differences, but also ecological features which they share and which are presumably the result of a convergent evolutionary development.

Inventive step

The present application meets the requirements of PCT Article 33(1) in conjunction with PCT Article 33(3)

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because the subject matter of claims 1-10 involves an inventive step.

In the light of the description and of the closest prior art of the reference document D1 (or D3), the problem on which the application is based can be considered to be the provision of (further) synergistic mixtures of triazolopyrimidines with other fungicides, in particular for controlling plant-pathogenic Oomycetes (Phycomycetes).

The proposed solution is characterized by the use of the specific triazolopyrimidine TP1 in combination with the known fungicide tolylfluanid, a respiration-inhibitory sulphonamide with an N-S-Hal₃ group which reacts unspecifically with thio groups.

To arrive at this solution, it is necessary specifically to select, among the triazolopyrimidines of D2, the triazolopyrimidine of the present application, which is TP1, and to use TP1 instead of TPc, which is employed in D1, and additionally to substitute the thio reactants mentioned in that document by tolylfluanid.

The closest prior art D1 teaches that triazolopyrimidines of a general formula, which also covers TP1, can exert a synergistic effect with a series of other fungicides, among which captan, mancozeb and sulphur, which, like tolylfluanid, react unspecifically with thio groups. The preferred substances TPa, TPb and TPc, which are the only ones which are specified fully, differ from TP1 by the substitution on the nitrogen, on the phenyl ring or on

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both.

While Oomycetes, such as *Phytophthora*, are mentioned among the pathogens to be controlled and while the synergistic mixtures are tested against a number of harmful fungi, such as, for example, species of the genera *Blumeria*, *Botrytis*, *Septoria*, *Erysiphe* and *Puccinia*, they are not tested against an Oomycete. The examples (22 and 25) show for example a synergistically increased effect of the mixture of TPc with sulphur, captan and mancozeb against leaf rust on wheat (*Puccinia recondita*, *Basidiomycetes*) and early blight (*Alternaria solani*, *Ascomycetes*) on tomatoes.

D2 specifically discloses 2,4,6-trifluorophenyltriazolopyrimidines, among which TP1 (compound 2) and demonstrates that these substances have a good activity against the Ascomycetes *Botrytis cinerea* and *Uncinula necator* (powdery mildew of grapevine), but not against the Oomycete *Phytophthora infestans* (see D2, pages 23-26).

Finally, D4 expressly states (see D5, column 1, lines 29-45) that the triazolopyrimidines which are substituted in the 7-position on the nitrogen, which also include TP1, have a spectrum of action which differs from triazolo- and imidazolopyrimidines which are unsubstituted in the 7-position on the nitrogen. The latter substances are known as being particularly effective against Phycomycetes such as, for example, *Plasmopara viticola* (downy mildew of grapevine, *Peronosporales*, *Oomycetes*). In contrast, the substituted analogues are effective against harmful fungi such as, for example, *Erysiphe*

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(*Blumeria*) *graminis* and *Leptosphaeria nodorum*, which are not Oomycetes; obviously erroneously, D5 refers to them as being Oomycetes, instead of "non-Oomycetes".

A person skilled in the art would therefore not have seen any reason to substitute TP1 for TPc in the mixtures known from D1 in order to obtain an increased activity against Oomycetes; nor would he have seen any reason for substituting tolylfluanid for captan, mancozeb or sulphur in the mixtures known from D1 in order to increase the activity against Oomycetes. While fungicides which react with thio groups, such as, for example, captan, mancozeb and tolylfluanid, are used for controlling Oomycetes (see, for example, D3), tolylfluanid is not known as being particularly effective among these compounds.

Starting from D3, a person skilled in the art would have to substitute precisely iprovalicarb, which is particularly effective against Oomycetes, by TP1, which, however, has no activity against *Phytophthora infestans* (Oomycetes) according to D2.

The proven synergistically increased activity of the claimed mixtures against Oomycetes is therefore surprising and convincing proof for the presence of an inventive step.

Industrial applicability

The subject matter of claims 1-10 is considered to be industrially applicable (PCT Article 33(1) and (4)).